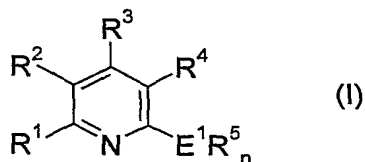


Claims

1. Process for the preparation of substituted pyridine derivatives of formula (I)



5 wherein

R^1, R^2 independently the same or different are H; C_{1-20} -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F, Cl, Br, I;

R^3 = CN, NO_2 , C_{1-20} -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F, Cl, Br, I;

$R^4 = E_n R_m^6$ in which

if $n = m = 1$ than $E = S$ and $R^6 = C_{1-20}$ -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F, Cl, Br, I;

if $n = 0$ and $m = 1$ than $R^6 = H$, C_{1-20} -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F, Cl, Br, I;

$E^1 = O, N$

$R^5 = H$

$n = 1$ for $E^1 = O$ und 2 for $E^1 = N$

comprising reaction of a α - β -unsaturated carbonyl compound of formula (II)

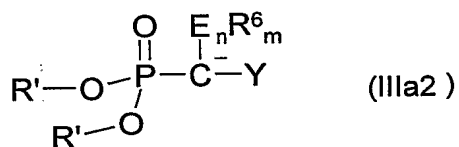
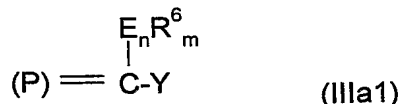


wherein

R^1 , R^2 and R^3 have the above defined meaning;

5 $G = -NH_2$ or a leaving group

with a Wittig reagent or Horner-Wadsworth-Emmons reagent of formula (III)



10

wherein

(P) = $P(Ar)_3$, with Ar = substituted or preferably unsubstituted C_{6-20} aryl, R' = is equal or different independently means C_{1-20} alkyl, branched or straight or cyclic, or C_{6-20} aryl;

15

$E_n R_m^6$ = in which

if $n = m = 1$ than $E = S$ and $R^6 = C_{1-20}$ -alkyl (branched or straight chain or cyclic);
 C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I;
 if $n = 0$ and $m = 1$ than $R^6 = H$, C_{1-20} -alkyl (branched or straight chain or cyclic);
 C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I;

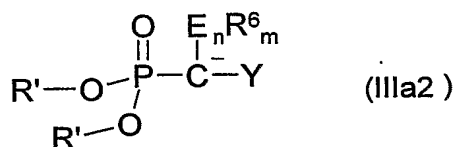
25

$Y = -CN$; $-C(O)NH_2$; $-C(O)OR^7$ with R^7 = as defined for R^1 above, except H

in the presence of a base and if

- 30 i) $Y = -CN$ or $C(O)NH_2$, G = a leaving group and the base is an alcoholate, subsequent acidic catalyzed, with zeolithes catalyzed or basic catalyzed cyclization;
- ii) $Y = -C(O)-OR^7$, G = a leaving group and the base is an alcoholate, subsequent basic cyclization in the presence of ammonia.

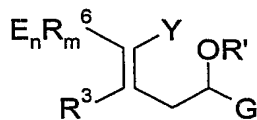
2. Process according to claim 1, wherein $R^1 = R^2 = H$ and $R^3 =$ electron withdrawing group.
- 5 3. Process according to claims 1 to 2, wherein $R^1 = R^2 = H$ and R^3 is a partially or fully fluorinated C_{1-6} -alkylgroup.
4. Process according to claims 1 to 3, wherein $R^3 = -CF_3$.
- 10 5. Phosphorus compounds of formula IIIa2



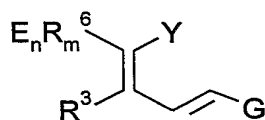
in which

- 15 $R' =$ is equal or different independently means C_{1-20} alkyl, branched or straight or cyclic, or C_{6-20} aryl
- $E_n R_m^6 =$ in which
- 20 if $n = m = 1$ than $E = S$ and $R^6 = C_{1-20}$ -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I;
- 25 $Y = -CN$; $-C(O)NH_2$; $-C(O)OR^7$ with $R^7 = C_{1-20}$ -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I.

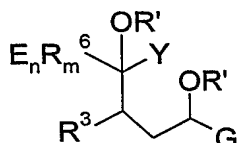
6. Compounds of the formula IV-1 to IV-4



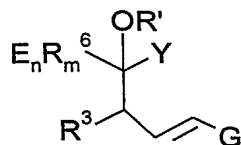
IV-1



IV-2



IV-3



IV-4

in which the variables have the following meanings:

5

$E_nR_m^6$ = in which

if $n = m = 1$ than $E = S$ and $R^6 = C_{1-20}$ -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I;

10

if $n = 0$ and $m = 1$ than $R^6 = H$, C_{1-20} -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I;

15

$Y = -CN$; $-C(O)NH_2$; $-C(O)OR^7$ with $R^7 = C_{1-20}$ -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F, Cl, Br, I.

20

R' is equal or different independently means C_{1-20} alkyl, branched or straight or cyclic

$R^3 = CN$, NO_2 , C_{1-20} -alkyl (branched or straight chain or cyclic); C_{6-20} -aryl - which each of those may be substituted with one or more of the following groups: F, Cl, Br, I, C_{1-20} -alkoxy, C_{6-20} -aryloxy, amino; F; Cl; Br; I;

25

$G = -NH_2$ or a leaving group.

7. Compounds as claimed in claims 5 or 6 as intermediates in the synthesis of pyridine derivatives.